DOCKET NO.: CEPH-2313 (CP188-C)

Application No.: 10/685,923

Office Action Dated: April 27, 2004

This listing of claims will replace all prior versions, and listings, of claims in the application.

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Listing of Claims

Claims 1 to 26 (cancelled)

27. (new) A compound having the Formula I:

Ι

wherein:

Q has the formula G-B- $(CHR^4)_V$ where R^4 is independently H or alkyl having from 1 to 4 carbons;

v is 0;

B is selected from the group consisting of -C(=O)-, -OC(=O)-, -S-, -SO-, -S(O)₂- and a bond;

M is a carbon atom;

G is selected from the group consisting of H, a blocking group, lower alkyl, lower alkenyl, aryl having from about 6 to about 14 carbons, and arylalkyl having from about 7 to about 15 carbons, said alkyl and arylalkyl groups being optionally substituted with one or more J groups;

J is selected from the group consisting of halogen, CN, nitro, lower alkyl, cycloalkyl, heterocycloalkyl, heteroalkyl, halogenated alkyl, aryloxyalkyl, alkylthio, alkylsulfonyl, aryl, heteroaryl, arylalkyl, arylalkyloxy, arylsulfonyl, heteroarylsulfonyl, alkoxycarbonyl, alkoxyalkyl, acyl, alkoxy, hydroxy, carboxy, hydroxyalkyl, amino, alkylamino, and aminoalkyl, said amino group or said amino group of said aminoalkyl or alkylamino group being optionally substituted with an

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acyl group, an alkoxy group, or with 1 to 3 aryl, lower alkyl, cycloalkyl, or alkoxyalkyl groups; and said aryl, heteroaryl, heterocycloalkyl, and heteroalkyl groups being further optionally substituted by a J¹ group;

J¹ is selected from the group consisting of halogen, CN, nitro, lower alkyl, cycloalkyl, heterocycloalkyl, heteroalkyl, halogenated alkyl, aryloxyalkyl, alkylthio, alkylsulfonyl, aryl, heteroaryl, arylalkyl, arylalkyloxy, arylsulfonyl, heteroarylsulfonyl, alkoxycarbonyl, alkoxyalkyl, acyl, alkoxy, hydroxy, carboxy, hydroxyalkyl, amino, alkylamino, and aminoalkyl, said amino group or said amino group of said aminoalkyl or alkylamino group being optionally substituted with an acyl group, an alkoxy group, or with 1 to 3 aryl, lower alkyl, cycloalkyl, or alkoxyalkyl groups; and said aryl, heteroaryl, heterocycloalkyl, and heteroalkyl groups being further optionally substituted by a J² group;

J² is selected from a group consisting of halogen, CN, nitro, lower alkyl, halogenated alkyl, alkylthio, alkylsulfonyl, alkoxycarbonyl, alkoxyalkyl, acyl, alkoxy, hydroxy, carboxy, hydroxyalkyl, amino, alkylamino, and aminoalkyl;

each Aaa is independently an amino acid;

n is 0 or 1:

R¹ and R² are independently selected from the group consisting of H, alkyl having from one to about 6 carbons, arylalkyl having from about 7 to about 15 carbons, alkoxyalkyl, and a side chain of a naturally occurring amino acid in the R or S configuration, said alkyl, arylalkyl, and alkoxyalkyl groups being optionally substituted with one or more J groups;

 R^3 is selected from the group consisting of H, alkyl having from one to about 6 carbons, arylalkyl having from about 7 to about 15 carbons, alkoxyalkyl, a side chain of a naturally occurring amino acid in the R or S configuration, $C(=O)R^7$, $S(=O)_2R^7$, a blocking group, and said alkyl, arylalkyl, and alkoxyalkyl groups being optionally substituted with one or more J groups;

R⁷ is selected from the group consisting of aryl having from about 6 to about 14 carbons, heteroaryl having from about 5 to about 14 ring atoms, arylalkyl having from about 7 to about 15 carbons, alkyl having from 1 to about 10 carbons, said aryl, heteroaryl, arylalkyl and alkyl groups being optionally substituted with one or

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more J groups, heteroalkyl having from 2 to about 7 carbons, alkoxy having from about 1 to about 10 carbons, and amino optionally substituted with 1 or more alkyl groups;

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q is 0 or 1;

Z is $C(=O)C(=O)NH-X-A^1-K$;

X is a bond;

A¹ is a lower alkylene;

K is $N(R^{10})SO_2R^8$ or $SO_2N(R^8)$ (R^{10});

R⁸ is an aryl or heterocyclyl, said aryl or heterocyclyl groups being optionally substituted with one or more J groups; and

R¹⁰ is selected from the group consisting of H and lower alkyl; or a pharmaceutically acceptable salt thereof.

- 28. (new) The compound of claim 27, wherein n is 0, q is 1, B is a bond, and G is H.
- 29. (new) The compound of claim 27, wherein R¹ is the side chain of a naturally-occurring amino acid.
- 30. (new) The compound of claim 27, wherein R^3 is $-S(=O)_2R^7$.
- 31. (new) The compound of claim 27, wherein R² is benzyl or alkoxyalkyl.
- 32. (new) The compound of claim 27, wherein A¹ is -CH₂-CH₂-, -CH₂-CH(CH₃)-, or -(CH₃)CH-CH₂-.
- 33. (new) The compound of claim 27, wherein R¹ is a serine side chain, which is optionally capped with a benzyl group.
- 34. (new) The compound of claim 33 wherein M is a carbon atom in the D configuration.

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35. (new) The compound of claim 27, wherein R² is benzyl, R⁷ is methyl, and R⁸ is substituted phenyl, unsubstituted phenyl, substituted heteroaryl, or unsubstituted heteroaryl.

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- 36. (new) The compound of claim 27, wherein R⁸ is aryl, aryl substituted with amino, aryl substituted with heterocyclomethyl, heteroaryl, alkyl substituted with heteroaryl, or heteroaryl substituted with alkylthio, haloalkyl, alkyl, phenylsulfonyl, halogen, aminophenyl, amino, or dialkylaminoalkyl.
- 37. (new) The compound of claim 27, wherein n is 0, q is 1, R^1 is the side chain of an amino acid in the D- or L-configuration, R^3 is $-S(=O)_2R^7$, G is H, B is a bond, and R^2 is benzyl or alkoxyalkyl.
- 38. (new) The compound of claim 27, wherein R¹ is a serine side chain in the D-configuration in which the hydroxyl group is capped with benzyl, R² is benzyl, R⁷ is methyl, and R⁸ is substituted or unsubstituted phenyl or substituted or unsubstituted heteroaryl.
- 39. (new) The compound of claim 27 having the formula:

wherein

W is selected from the group consisting of: Ms-D-Ser(Bn), Ms-L-Ser(Bn), Ms-(D,L)-Phenylgly, Ms-D-Thr(Bn), Ms-D-Phe, and Cbz-Leu-Leu;

R is selected from the group consisting of:

-CH₂CH₂NHSO₂((4-(CH₃COPh)piperazin-1-yl)CH₂Ph);

-CH₂CH₂NHSO₂((4-(PhCH₂)piperazin-1-yl)CH₂Ph);

-CH₂CH₂NHSO₂((4-(CH₃CO)piperazin-1-yl)CH₂Ph);

-CH₂CH₂NHSO₂((4-pyrid-2-yl)piperazin-1-yl)CH₂Ph);

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-CH₂CH₂NHSO₂(4-ethylpiperazin-1-yl)CH₂Ph);

-CH₂CH₂NHSO₂((4-(CH₃SO₂)piperazin-1-yl)CH₂Ph); and

-CH₂CH₂NHSO₂((4-pyrimid-2-yl)piperazin-1-yl)CH₂Ph), or

a pharmaceutically acceptable salt thereof.

40. (new) The compound of claim 39, wherein W and R are selected in accordance with the following table:

	W	R
1	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ ((4-(CH ₃ COPh)piperazin-1-yl)CH ₂ Ph)
2	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ ((4-(PhCH ₂)piperazin-1-yl)CH ₂ Ph)
3	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ ((4-(CH ₃ CO)piperazin-1-yl)CH ₂ Ph)
4	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ ((4-pyrid-2-yl)piperazine-1-yl)CH ₂ Ph)
5	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ (4-ethylpiperazin-1-yl)CH ₂ Ph)
6	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ ((4-(CH ₃ SO ₂)piperazin-1-yl)CH ₂ Ph)
7	Ms-D-Ser (Bn)	-CH ₂ CH ₂ NHSO ₂ ((4-pyrimid-2-yl)piperazin-1-yl)CH ₂ Ph),

or a pharmaceutically accepted salt thereof.

- 41. (new) The compound of claim 27, wherein n and q are each 0; B is (C=O); and G is phenyl or lower alkyl, said phenyl or lower alkyl groups being optionally substituted with one or more J groups.
- 42. (new) A composition for inhibiting a serine protease or a cysteine protease, comprising:
 a compound of claim 27; and
 a pharmaceutically acceptable carrier.
- 43. (new) A method for inhibiting a serine protease or a cysteine protease, comprising: contacting a protease selected from the group consisting of serine proteases and cysteine proteases with an inhibitory amount of a compound of claim 27.